CLAIMS

5

1. A compound of Formula I:

A-B

its prodrug forms, or pharmaceutically acceptable salts thereof, wherein

10 A represents a saturated, unsaturated, or a partially unsaturated bicyclic heterocyclic ring structure substituted with R⁶, R⁷, R⁸, R⁹, and R²⁰;
B represents

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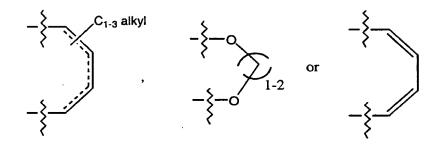
 R^1 represents OH, halogen, COOH, COO- C_{1-4} alkyl, O-(CH_2)₀₋₁-Ph, $N(R^{10})_2$, CH_2OR^{10} , C_{1-6} halogenated alkyl, $O-(CH_2)_{1-4}-CO-N(R^{10})_2$, $\mathrm{SC}_{\scriptscriptstyle{1-4}} \quad \mathrm{alkyl}\,, \quad \mathrm{NHSO_2C_{\scriptscriptstyle{1-4}}alkyl}\,, \quad \mathrm{SO_2-OH}\,, \quad \mathrm{O-SO_2-OH}\,, \quad \mathrm{O-SO_2-O-C_{\scriptscriptstyle{1-4}}}$ alkyl, OP(O)(OH)2, or OPO3C1-4 alkyl; R^2 , R^3 , R^4 , and R^5 independently at each occurance represent H, SH, OR10, halogen, COOR10, CONR11R12, optionally substituted aryl, optionally substituted heterocyclyl, C_{4-14} cycloalkyl- C_{1-4} alkyl, C_{1-4} alkyl aryl, optionally substituted C_{1-14} straight chain, branched or cyclo alkyl, $O-(CH_2)_{2-6}-NR^{10} (CH_2)_{0-3}-R^{24}$, $NR^{10}R^{24}$, $(CH_2)_{1-4}-NR^{33}R^{34}$, $(CH_2)_{1-4}-COOR^{33}$, $O-(CH_2)_{1-3}-CO-(CH_2)_{1-4}$ het, O-(CH₂)₁₋₂-NH-CO-aryl, O-(CH₂)₁₋₂-NR¹⁰-CO-NR¹⁰R³³, O-(CH₂)₀₋₂- $C(O) - NR^{33}R^{34}$, $O-(CH_2)_{1-4} - COOR^{10}$, $O-(CH_2)_{1-3} - het - R^{32}$, O-optionallysubstituted cycloalkyl, $O-(CH_2)_{1-4}-NR^{10}-COO-t-butyl$, $O-(CH_2)_{1-4}-COO-t-butyl$ $NR^{10}R^{33}$, $O-(CH_2)_{1-4}-NR^{10}-C(O)-C_{0-3}-alkyl-optionally$ substituted aryl, O-substituted cycloalkyl, O- $(CH_2)_{0-6}$ -optionally substituted aryl, $(CH_2)_{1-4}$ -NH-C(O)O-(CH₂)₁₋₄-PhR¹³R¹⁴, NO₂, O-

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 $(CH_2)_{0-4}-C(0)-NH-tetrahydro$ carboline, $NR^{10}R^{28}$, O-(CH,),-,optionally substituted het, CH,COOCH,, CH=CH-COOCH,, 5amidino benzimidazole,

$$- \left\{ -E - (CH_2)_{0^{-4}} - \left(CH_2 \right)_{0^{-4}} - \left(CH_2 \right)_{0^$$

alternatively $\ensuremath{\mbox{R}^2}$ and $\ensuremath{\mbox{R}^3}$ taken together form



R' and R' independently at each occurance represents H, halogen, cyano, C₁₋₄ alkyl, C₁₋₄ halogenated alkyl, NO₂, Oaryl or OR11;

R and R independently at each occurance represent OH, CF,, H, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, or O-aryl, halogen, cyano, or a basic group selected from guanidino, C(=NH)N(R10), C(=NH)-NH-NH,, C(=O)NH,, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, Namidino pyrrolidine, tetrahydro pyrimidine, and thiazolidin-3-yl-methylideneamine; with the proviso that only one of R' and R' represent a basic group;

 R^{10} independently at each occurance represents H, $(CH_2)_{0-2}$ aryl, C1-4 halo alkyl, or C1-14 straight chain, branched or alkyl, and alternatively, when one atom substituted with two R10 groups, the atom along with the R10

groups can form a five to 10 membered ring structure; 25

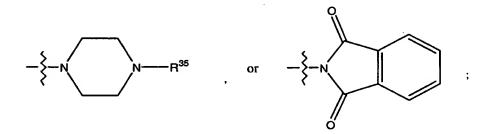
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WO 00/35886 PCT/US99/30302

R11 and R12 independently at each occurance represent H or C, R^{20} represents R^{24} , C_{1-4} -alkyl, $(CH_2)_{1-3}$ -biphenyl, $(CH_2)_{1-4}$ -Ph- $N(SO_2-C_{1-2}-alkyl)_2$, $(CH_2)_{1-4}-NH-C(O)-R^{24}$, $(CH_2)_{1-4}-NH-SO_2-R^{24}$, ${\tt halogen, COOR}^{\tt 10}, \quad {\tt (CH_2)_{\tt 1-4}-Ph-N(SO_2-C_{\tt 1-2}alkyl), \quad {\tt (CH_2)_{\tt 1-4}-NR}^{\tt 10}-C(O)-1}$ R^{24} , $(CH_2)_{1-4} - NR^{10} - SO_2 - R^{24}$, $(CH_2)_{1-4} - het$, $(CH_2)_{1-4} - CON(R^{10})_2$, $(CH_2)_{1-4} - R^{10} - SO_2 - R^{10}$ $N(R^{10}) - C(O) - NR^{10}R^{24}$, $(CH_2)_{1-4} - N(R^{10}) - C(S) - NR^{10}R^{24}$, or $(CH_2)_{1-3} - COOH$; R²⁴ represents R¹⁰, (CH₂)_{1,4}-optionally substituted aryl, $(CH_2)_{0-4}OR^{10}$, $CO-(CH_2)_{1-2}-N(R^{10})_2$, $CO(CH_2)_{1-4}-OR^{10}$, $(CH_2)_{1-4}-COOR^{10}$, $(CH_2)_{0.4} - N(R^{10})_2$, SO_2R^{10} , COR^{10} , $CON(R^{10})_2$, $(CH_2)_{0.4} - aryl - COOR^{10}$, 10 $(CH_2)_{0.4}$ -aryl-N(R¹⁰), or $(CH_2)_{1.4}$ -het-aryl; R^{28} represents $(CH_2)_{1-2}-Ph-O-(CH_2)_{0-2}-het-R^{30}$, C(O)-het, $CH_2-Ph-O-(CH_2)_{0-2}-het$ CH_2 -het- $(R^{30})_{1-3}$; $(CH_2)_{1-4}$ -cyclohexyl- R^{31} , CH_2 -Ph-O-Ph- $(R^{30})_{1-2}$, CH₂-(CH₂OH)-het-R³⁰, CH₂-Ph-O-cycloalkyl-R³¹, CH₂-het-C(O)-CH₂ $het-R^{30}$, or $CH_{2}-Ph-O-(CH_{2})-O-het-R^{30}$; R³⁰ represents SO₂N(R¹⁰), H, NHOH, amidino, or C(=NH)CH₃; R³¹ represents R³⁰, amino-amidino, NH-C(=NH)CH, or R¹⁰;

 R^{33} and R^{34} independently at each occurance represent R^{10} , $(CH_2)_{0-4}$ -Ar, optionally substituted aryl, $(CH_2)_{0-4}$ optionally substituted heteroaryl, $(CH_2)_{1-4}$ -CN, $(CH_2)_{1-4}$ -N(R^{10}), $(CH_2)_{1-4}$ -OH, $(CH_2)_{1-4}$ -SO₂-N(R^{10}); alternatively, R^{33} and R^{34} along with the nitrogen atom that they are attached to forms a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-Dialkoxyoxy-2-

 R^{32} represents H, C(O)-CH₂-NH₂, or C(O)-CH(CH(CH₃)₂)-NH₂;



substituted 1,2,3,4-tetrahydro-isoquinoline,

30 R³⁵ represents R¹⁰, SO₂-R¹⁰, COR¹⁰, or CONHR¹⁰; E represents a bond, S(O)₀₋₂, O or NR¹⁰; W₁, W₂, W₃ and W₄ independently represent C or N; and

Q, Q^1 , Q^2 , Q^3 , L^1 , L^2 , L^3 and L^4 independently at each occurance represent N-natural or unnatural amino acid side chain, CHR^{10} , O, NH, $S(O)_{0-2}$, N-C(O)-NHR¹⁰, SO_2 -N(R¹⁰)₂, N-C(O)-NH-(CH₂)₁₋₄-R²⁶, NR¹⁰, N-heteroaryl, N-C(=NH)-NHR¹⁰, or N-C(=NH)C₁₋₄ alkyl;

 R^{26} represents OH, NH_2 , or SH; provided that, (i) when $R^1 = OH$; $R^7 = amidine$; R^2 , R^6 , R^8 , R^9 , and R^{20} each represent H; and R^3 , R^4 , R^5 are independently chosen from H, CH_3 , and halogen, then only one of R^3 , R^4 , and R^5 represents H; (ii) when $R^1 = OH$; $R^7 = amidine$; R^2 , R^3 , R^4 , R^5 , and R^{20} each represent H; and R^6 , R^8 , R^9 are independently chosen from H, CH_3 , and halogen, then only one of R^6 , R^8 , and R^9 represents H; (iii) at least two of W_1 , W_2 , W_3 and W_4 represent C and at least one of W_1 , W_2 , W_3 and W_4 represent N; and (iv) when $R^1 = OH$; $R^7 = amidine$; and R^2 , R^3 , R^4 , R^5 , R^6 , R^8 , and R^9 , represent H, R^{20} cannot be CH_3 .

2. A compound of Formula I:

A-B

its prodrug forms, or pharmaceutically acceptable salts thereof, wherein

A represents

25

20

$$\mathbb{R}^7$$
 \mathbb{R}^8
 \mathbb{R}^9
 \mathbb{R}^9
 \mathbb{R}^9
 \mathbb{R}^9
 \mathbb{R}^9
 \mathbb{R}^9
 \mathbb{R}^9

B represents

10

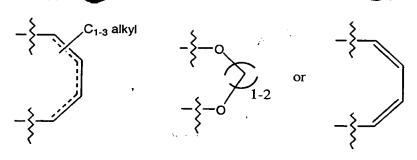
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 R^1 represents OH, halogen, COOH, COO- C_{1-4} alkyl, O-(CH₂)₀₋₁-Ph, $N(R^{10})_{2}$, $CH_{2}OR^{10}$, C_{1-6} halogenated alkyl, $O-(CH_{2})_{1-4}-CO-N(R^{10})_{2}$, SC_{1-4} alkyl, $NHSO_2C_{1-4}$ alkyl, SO_2-OH , $O-SO_2-OH$, $O-SO_2-O-C_{1-4}$ alkyl, OP(O)(OH)2, or OPO3C1_4 alkyl; R2, R3, R4, and R5 independently at each occurance represent H, SH, OR10, halogen, COOR10, CONR11R12, optionally substituted aryl, optionally substituted heterocyclyl, C,,, cycloalkyl- C_{1-4} alkyl, C_{1-4} alkyl aryl, optionally substituted C_{1-14} straight chain, branched or cyclo alkyl, $O-(CH_2)_{2-6}-NR^{10} (CH_2)_{0-3}-R^{24}$, $NR^{10}R^{24}$, $(CH_2)_{1-4}-NR^{33}R^{34}$, $(CH_2)_{1-4}-COOR^{33}$, $O-(CH_2)_{1-3}-CO-(CH_2)_{1-4}$ het, $O-(CH_2)_{1-2}-NH-CO-aryl$, $O-(CH_2)_{1-2}-NR^{10}-CO-NR^{10}R^{33}$, $O-(CH_2)_{0-2} C(O) - NR^{33}R^{34}$, $O-(CH_2)_{1-4} - COOR^{10}$, $O-(CH_2)_{1-3} - het - R^{32}$, O-optionallysubstituted cycloalkyl, $O-(CH_2)_{1-4}-NR^{10}-COO-t-butyl$, $O-(CH_2)_{1-4} O-(CH_2)_{1-4}-NR^{10}-C(O)-C_{0-3}-alkyl-optionally$ substituted NR¹⁰R³³, cycloalkyl, O-substituted $O-(CH_2)_{0-6}$ -optionally substituted aryl, $(CH_2)_{1-4}$ -NH-C(0)0-(CH₂)₁₋₄-PhR¹³R¹⁴, $(CH_2)_{0.4}-C(O)-NH-tetrahydro$ carboline, $NR^{10}R^{28}$, $O-(CH_2)_{1.3}$ optionally substituted het, CH,COOCH,, CH=CH-COOCH,, amidino benzimidazole,

$$- \left\{ -E - (CH_2)_{0^{-4}} - \left\{ Q_1 - Q_2 - Q_3 - Q_3 - Q_3 - Q_3 - Q_3 - Q_4 - \left(CH_2 - Q_3 - Q_3 - Q_3 - Q_4 - Q_5 -$$

alternatively R² and R³ taken together form

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 R^6 and R^9 independently at each occurance represents H, halogen, cyano, C_{1-4} alkyl, C_{1-4} halogenated alkyl, NO_2 , O-aryl or OR^{11} ;

 $\rm R^7$ and $\rm R^8$ independently at each occurance represent OH, $\rm CF_3$, H, NO₂, C₁₋₄ alkyl, OC₁₋₄ alkyl, or O-aryl, halogen, cyano, or a basic group selected from guanidino, $\rm C(=NH)\,N\,(R^{10})_2$, $\rm C(=NH)\,-NH-NH_2$, C(=O)NH₂, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, and thiazolidin-3-yl-methylideneamine; with the proviso that only one of $\rm R^7$ and $\rm R^8$ represent a basic group;

 R^{10} independently at each occurance represents H, $(CH_2)_{0-2}$ -aryl, C_{1-4} halo alkyl, or C_{1-14} straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R^{10} groups, the atom along with the R^{10} groups can form a five to 10 membered ring structure;

 R^{11} and R^{12} independently at each occurance represent H or C_{1-} alkyl;

25 $N(R^{10})-C(O)-NR^{10}R^{24}$, $(CH_2)_{1-4}-N(R^{10})-C(S)-NR^{10}R^{24}$, or $(CH_2)_{1-3}-COOH$; R^{24} represents R^{10} , $(CH_2)_{1-4}$ -optionally substituted aryl, $(CH_2)_{0-4}OR^{10}$, $CO-(CH_2)_{1-2}-N(R^{10})_2$, $CO(CH_2)_{1-4}-OR^{10}$, $(CH_2)_{1-4}-COOR^{10}$, $(CH_2)_{0-4}-N(R^{10})_2$, SO_2R^{10} , COR^{10} , $CON(R^{10})_2$, $(CH_2)_{0-4}$ -aryl- $COOR^{10}$, $(CH_2)_{0-4}$ -aryl- $N(R^{10})_2$, or $(CH_2)_{1-4}$ -het-aryl;

30 R^{28} represents $(CH_2)_{1-2}-Ph-O-(CH_2)_{0-2}-het-R^{30}$, C(O)-het, $CH_2-Ph-CH_2-het-(R^{30})_{1-3}$; $(CH_2)_{1-4}-cyclohexyl-R^{31}$, $CH_2-Ph-O-Ph-(R^{30})_{1-2}$,

 $CH_2-(CH_2OH)-het-R^{30}$, $CH_2-Ph-O-cycloalkyl-R^{31}$, $CH_2-het-C(O)-CH_2-het-R^{30}$, or $CH_2-Ph-O-(CH_2)-O-het-R^{30}$;

 R^{30} represents $SO_2N(R^{10})_2$, H, NHOH, amidino, or $C(=NH)CH_3$; R^{31} represents R^{30} , amino-amidino, NH-C(=NH)CH $_3$ or R^{10} ;

- R³² represents H, C(0)-CH₂-NH₂, or C(0)-CH(CH(CH₃)₂)-NH₂; R³³ and R³⁴ independently at each occurance represent R¹⁰, (CH₂)₀₋₄-Ar, optionally substituted aryl, (CH₂)₀₋₄ optionally substituted heteroaryl, (CH₂)₁₋₄-CN, (CH₂)₁₋₄-N(R¹⁰)₂, (CH₂)₁₋₄-OH, (CH₂)₁₋₄-SO₂-N(R¹⁰)₂;
- alternatively, R³³ and R³⁴ along with the nitrogen atom that they are attached to forms a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-Dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline,

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 R^{35} represents R^{10} , SO_2-R^{10} , COR^{10} , or $CONHR^{10}$; E represents a bond, $S(O)_{0-2}$, O or NR^{10} ;

 W_1 , W_2 , W_3 and W_4 independently represent C or N; and

- Q, Q¹, Q², Q³, L¹, L², L³ and L⁴ independently at each occurance represent N-natural or unnatural amino acid side chain, CHR¹⁰, O, NH, S(O)₀₋₂, N-C(O)-NHR¹⁰, SO₂-N(R¹⁰)₂, N-C(O)-NH-(CH₂)₁₋₄-R²⁶, NR¹⁰, N-heteroaryl, N-C(=NH)-NHR¹⁰, or N-C(=NH)C₁₋₄ alkyl;
- 25 R²⁶ represents OH, NH₂, or SH; provided that, (i) when R¹ = OH; R⁷ = amidine; R², R⁶, R⁸, R⁹, and R²⁰ each represent H; and R³, R⁴, R⁵ are independently chosen from H, CH₃, and halogen, then only one of R³, R⁴, and R⁵ represents H; (ii) when R¹ = OH; R⁷ = amidine; R², R³, 30 R⁴, R⁵, and R²⁰ each represent H; and R⁶, R⁸, R⁹ are
- one of R⁶, R⁸, and R⁹ each represent H; and R⁸, R⁸, R⁹ are independently chosen from H, CH₃, and halogen, then only one of R⁶, R⁸, and R⁹ represents H; (iii) at least two of

 W_1 , W_2 , W_3 and W_4 represent C and at least one of W_1 , W_2 , W_3 and W_4 represent N; and (iv) when R^1 = OH; R^7 = amidine; and R^2 , R^3 , R^4 , R^5 , R^6 , R^8 , and R^9 , represent H, R^{20} cannot be CH₃.

5 3. A compound of Claim 2 wherein A represents

$$\mathbb{R}^7$$
 \mathbb{R}^8
 \mathbb{R}^9
or
 \mathbb{R}^8
 \mathbb{R}^9
 \mathbb{R}^9

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R¹ represents OH, O-Ph, COOH, or P(O)(OH)₂;

 R^7 represents H, Br, $CONH_2$, CN, $C(=NH)-NH-NH_2$, $NH-C(=NH)-NH_2$ or $C(=NH)-NH_3$;

X and Y independently at each occurance are selected from NH, N, C, or CH, such that at least one of X and Y always represents N or NH; and

Z represents C or N;
provided that, (i) when Z represents N, R' represents H or
C(=NH)NH₂.

4. A compound of claim 3 wherein

25 A represents

$$R^7$$
 R^8
 R^9
and

B represents

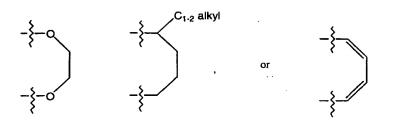
$$R^5$$
 R^4 R^3 R^1 R^2 , and

X and Y represent N; and
R' represents-CONH, or C(=NH)-NH,;

5. A compound of claim 4 wherein R¹ represents OH, -COOH, and O-P(O)(OH),; R² and R³ independently represent halogen, H, C, alkyl, Ph, toluyl, OH, O-(CH₂)₁₋₃-C(O)-NH-(CH₂)₁₋₃-CN, O-(CH₂)₁₋₃-Ph-p- $O-CH_2-C(O)-NH-(CH_2)_{1-2}-CH-(CH_3)_2$, $O-CH_2-C(O)-NH-(CH_2)-CH_2-C(O)$ Ph, $O-CH_2-C(O)-NH-(CH_2)-Ph-pCH_3$, $O-C_{1-3}$ alky1, $O-(CH_2)_{0-2}-Ph-pCH_3$ R^{10} , $O-CH_2-C(O)-NH-(CH_2)_2-H$, $Ph-C_{1-3}$ alkyl, $Ph-N(R^{10})_2$, $O-(CH_2)_1$ 15 $_{3}$ -het, O-(CH₂)₁₋₃-Ph-halo, O-(CH₂)₁₋₃-NHSO₂Ph-R¹⁰, O-(CH₂)₁₋₃-NHCO- $(CH_2)_{0-2}$ -Ph, $O-CH_2-C(O)-NH-CH_2-COO-C(CH_3)_3$, $O-(CH_2)_2-NHC(O)-CH_2-CH_3$ $O-(CH_2)_{1-3}-NH-het$, $O-(CH_2)_2-NH-C(O)-pyridyl$, O- $(CH_2)_2$ -NH-C(O)-NH-benzyl, O-(CH₂)₂-cyclohexyl, O-(CH₂)₂-NH- $C(O) - (CH_2), -CONH_2,$ $O-(CH_2)_2-NH-C(O)-CH_2-OCH_3$, 20 thiophene, pyridyl, or O-(CH,),-pyridyl;

alternatively R2 and R3 taken together form

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R⁴ represents halogen, H, NO₂, C₁₋₂-alkyl, CH=CH-COOCH₃, NHSO₂C₁₋₂ alkyl, NHCO-het, (CH₂)₁₋₃-COOR¹⁰, (CH₂)₁₋₃-CONH-(CH₂)₁₋₃-pyridyl, or (CH₂)₁₋₃-CONH-(CH₂)₁₋₃-dichlorophenyl;

R⁵ represents H;

R⁶ represents H;

R⁷ represents C(=NH)-NH₂ or NH(=NH)NH₂;

R⁸ represents H, halogen, OR¹⁰, CF₃, or C(=NH)-NH₂;

R⁹ represents H or halogen; and

R²⁰ represents H.

6. A compound of claim 2 wherein A represents

 R^7 R^8 R^9 R^{9}

B represents

$$\mathbb{R}^5$$
 \mathbb{R}^4 \mathbb{R}^3 \mathbb{R}^1 \mathbb{R}^2 , and

X and Y represent N.

and

15

7. A compound of claim 6 wherein R^1 represents OH, or COOH;

 R^2 represents H, halogen, OH, phenyl, O- $(CH_2)_{1-3}$ -Ph, imidazolyl, 5-amidino benzimidazolyl, O- $(CH_2)_{1-2}$ -C(O)-NH- C_{1-6} alkyl, or O- CH_2 -C(O)-NH- CH_2 -Ph;

-{-O-(CH₂)₁₋₂---C(O)---N

 R^4 represents H, $-CH_3$, halogen, $-OCH_3$, $-(CH_2)_{1-2}COOR^{10}$, -COOH, $-NO_2$, -OH, aryl,

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$$- \begin{cases} -NH - (CH_2)_{0.4} \\ - \begin{cases} -NH - (CH_2)_{1.3} \\ - \begin{cases} -NH - (CH_2)_{1.3} \\ - \begin{cases} -NH - (CH_3)_2 \\ -$$

R⁵ represents H;

R⁶ represents H;

5 R^7 represents H, halogen, $-C(O)-NH_2$, $-C(=NH)-NH_2$;

R⁸ represents H, Cl, F, OH or OCH₃;

R' represents H;

 R^{13} and R^{14} independently at each occurance represents H, halogen, $-OC_{1-2}$ alkyl, -OH, $-CF_3$, or $-C_{1-4}$ alkyl; and

10 R¹⁵ represents H,

 R^{20} represents H or $-CH_2-Ph$.

5 8. A compound of claim 2, wherein the compound is selected from

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3-[3-Bromo-5-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-4-
   hydroxy-phenyl]-N-phenethyl-propionamide;
   3-[4-(6-Carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-
   phenyl]-N-(2,3-dichloro-benzyl)-propionamide;
   2-[4-(6-Carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-
   phenoxy]-N-(2,3-dichloro-benzyl)-acetamide;
   3-[3-Bromo-5-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-4-
   hydroxy-phenyl]-N-[2-(2,4-dichloro-phenyl)-ethyl]-
   propionamide;
   3-[3-Bromo-5-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-4-
10
   hydroxy-phenyl]-N-(2-pyridin-2-yl-ethyl)-propionamide;
   3-[3-Bromo-5-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-4-
   hydroxy-phenyl]-N-(3-phenyl-propyl)-propionamide;
   2-[4-(6-Carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-
   phenoxy]-N -naphthalen-1-ylmethyl-acetamide;
15
   2-(3'-Amino-5-chloro-2-hydroxy-biphenyl-3-yl)-3H-
   benzoimidazole-5-carboxamidine;
   3-[3-Bromo-5-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-4-
   hydroxy-phenyl]-propionic acid;
   2-(3,5-Bis-hydroperoxy-2-hydroxy-phenyl)-3H-benzoimidazole
20
   -5-carboxamidine;
   2-[4-(5-Carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-
   phenoxy]-N-(3-chloro-benzyl)-acetamide;
   N-Benzyl-3-[3-bromo-5-(6-carbamimidoyl-1H-benzoimidazol -2-
   yl)-4-hydroxy-phenyl]-propionamide;
25
   2-(3,5-Dibromo-2,4-dihydroxy-phenyl)-3H-benzoimidazole-5-
   carboxamidine;
   2-(2-Hydroxy-biphenyl-3-yl)-3H-benzoimidazole-5-
   carboxamidine;
   2-(5-Chloro-2-hydroxy-biphenyl-3-yl)-3H-benzoimidazole-5-
30
   carboxamidine;
   2-(2-Hydroxy-3-phenethyloxy-phenyl)-3H-benzoimidazole-5-
   carboxamidine;
   N-(3-Bromo-benzyl)-2-[4-(5-carbamimidoyl-1H-benzoimidazol-
   2-y1)-3-hydroxy-phenoxy]-acetamide;
     2-{3-[1-(3-Amino-propionyl)-pyrrolidin-2-ylmethoxy]-2-
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hydroxy-phenyl}-3H-benzoimidazole-5-carboxamidine;

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2-(5-Chloro-2-hydroxy-3-pyridin-3-yl-phenyl)-1H-benzoimidazole-5-carboxamidine;
2-[3-(5-Carbamimidoyl-1H-benzoimidazol-2-yl)-2-hydroxy-
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phenyl]-3,4,6,7-tetrahydro-imidazo[4,5-c]pyridine-5-

5 carboxamidine;

carboxamidine;

- 2-[3-(1-Aminoacetyl-pyrrolidin-2-ylmethoxy)-2-hydroxy-phenyl]-3H-benzoimidazole-5-carboxamidine; and 2-(2-Hydroxy-3-phenoxy-phenyl)-3H-benzoimidazole-5-carboxamidine;
- 2-[2-Hydroxy-3-(1-methyl-1H-benzoimidazol-2-yl)-phenyl]-1H-benzoimidazole-5-carboxamidine;
 - 2-[3-(1-Aminoacetyl-piperidin-3-ylmethoxy)-2-hydroxy-phenyl]-1H-benzoimidazole-5-carboxamidine;
 - 2-{3-[1-(2-Amino-3-methyl-butyryl)-pyrrolidin-2-ylmethoxy]-
- 2-hydroxy-phenyl)-1H-benzoimidazole-5-carboxamidine; 2-[2-Hydroxy-3-(1-hydroxyacetyl-pyrrolidin-2-ylmethoxy)phenyl]-1H-benzoimidazole-5-carboxamidine; 2-(2-Hydroxy-5-iodo-3-methoxy-phenyl)-1H-benzoimidazole-5-
- 20 2-{3-[1-(2-Amino-3-methyl-butyryl)-pyrrolidin-2-ylmethoxy]2-hydroxy-phenyl}-3H-benzoimidazole-5-carboxamidine;
 2-(2-Hydroxy-5-{4-[1-(1-imino-ethyl)-piperidin-4-yloxy]benzylamino}-phenyl)-3H-benzoimidazole-5-carboxamidine;
 compound with methane;
- 25 2-(2-Hydroxy-5-{4-[1-(1-imino-ethyl)-piperidin-3-ylmethoxy]-benzylamino}-phenyl)-3H-benzoimidazole-5-carboxamidine;
 - 2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-3H-benzoimidazole-5-carboxamidine;
- 30 3-[2,6-Dibromo-4-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-phenoxy]-propionic acid;
 - 3-[2,6-Dibromo-4-(6-carbamimidoyl-1H-benzoimidazol-2-yl)-3-hydroxy-phenoxy]-propionic acid ethyl ester; and
 - 2-[3-Bromo-2-hydroxy-5-(3-methoxy-but-3-enyl)-phenyl]-3H-
- 35 benzoimidazole-5-carboxamidine;
 - or a stereoisomer or pharmaceutically acceptable salt form thereof.

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- 9. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.
- 10. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 2 or a pharmaceutically acceptable salt thereof.
- 11. A method for treating or preventing a thromboembolic disorder, comprising administering t a patient in need thereof a therapeutically effective amount of a compound according to Claim 2 or a pharmaceutically acceptable salt thereof.
- 12. A compound of Claim 2 wherein A represents

$$R^7$$
 R^8
 R^9

B represents

$$\mathbb{R}^5$$
 \mathbb{R}^4
 \mathbb{R}^3
 \mathbb{R}^1
 \mathbb{R}^2

X represents C; and

Y represents NH.

13. A compound of claim 12 wherein

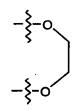
R¹ represents -OH, -COOH, or P(O)(OH)₂;

R² represents H, halogen, R¹o, -aryl, heteroaryl, -C₁₋₂-alkyl,

COOH, -OC₁₋₂-alkyl, -O-(CH₂)₀₋₂-aryl, or -C₆₋₁₀ aryl-C₁₋₄ alkyl;

R³ represents H or -O-(CH₂)₁₋₃-COOH;

alternatively R² and R³ taken together represent



 R^4 represents H, $-C_{1-4}$ alkyl, $-(CH_2)_{1-4}-COOH$, $-(CH_2)_{1-4}-COOC_{1-2}-10$ alkyl, halogen, $-(CH_2)_{1-2}-CONH_2$, $-CONH_2$, $-NO_2$, $-O-C_{1-2}$ alkyl, or -OH;

 R^5 represents H, $-C_{1-3}$ alkyl, $-(CH_2)_{1-4}-C(O)-NH-(CH_2)_{1-3}-heteroaryl, <math>-(CH_2)_{1-4}-C(O)-NH-CH_3$, or -COOH;

 R^6 represents H, halogen, or $-C_{1-3}$ alkyl;

15 R⁷ represents -C(0)-NH₂, -C(=NH)-NH-NH₂, or amidino; R⁸ represents H, or halogen; and

- $(CH_2)_{1-4}-N(R^{10})-C(S)-NR^{10}R^{24}$, $-C_{1-2}-alkyl$, $-(CH_2)_{1-4}-optionally$ substituted aryl, $-(CH_2)_{1-4}-het$; $-(CH_2)_{1-3}-N(R^{10})_2$; $-(CH_2)_{1-4}-CON(R^{10})_2$, or $-(CH_2)_{1-3}-COOH$.
- 14. A compound of claim 13 wherein the compound is selected from

3-Benzyl-2-(3-chloro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;

3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-propionic acid;

- 30 [3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetic acid;
 - 6-Chloro-2-(3,5-dichloro-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;

carboxamidine;

M Q

N

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3-Bromo-5-(5-carbamimidoyl-1H-indol-2-yl)-4-hydroxy-
      benzamide;
      2-(3,5-Dichloro-2-hydroxy-phenyl)-1H-indole-5-
      carboxamidine;
      3-(4-Amino-benzyl)-2-(3-bromo-2-hydroxy-5-methyl-phenyl)-
      1H-indole-5-carboxamidine;
      2-(2-Hydroxy-biphenyl-3-yl)-1H-indole-5-carboxamidine;
      2-(3-Bromo-2-hydroxy-5-nitro-phenyl)-1H-indole-5-
      carboxamidine;
      2-(5-Hydroxy-2,3-dihydro-benzo[1,4]dioxin-6-yl)-1H-indole-
  10
      5-carboxamidine;
      3-Benzyl-2-(2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
      3-Benzyl-2-(3,5-difluoro-2-hydroxy-phenyl)-1H-indole-5-
      carboxamidine;
      3-Benzyl-2-(3,5-dibromo-2-hydroxy-phenyl)-1H-indole-5-
  15
      carboxamidine;
      [3-Bromo-5-(5-carbamimidoyl-1H-indol-2-yl)-4-hydroxy-
      phenyl]-acetic acid;
      3-Benzyl-2-(5-chloro-2-hydroxy-phenyl)-1H-indole-5-
1 20
      carboxamidine;
      2-[3-Bromo-5-(5-carbamimidoyl-1H-indol-2-yl)-4-hydroxy-
      phenyl]-acetamide;
      2-(3,5-Difluoro-2-hydroxy-phenyl)-1H-indole-5-
      carboxamidine;
      2-(3,5-Dibromo-2-hydroxy-phenyl)-1H-indole-5-carboxamidine;
     2-(2-Hydroxy-5-methyl-biphenyl-3-yl)-1H-indole-5-
      carboxamidine;
      2-(2-Hydroxy-5,4'-dimethyl-biphenyl-3-yl)-1H-indole-5-
      carboxamidine;
  30
      2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-
      carboxamidine;
      3-Benzyl-2-(3-bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-
      carboxamidine;
      3-Benzyl-2-(3-chloro-2-hydroxy-5-methyl-phenyl)-1H-indole-
  35
      5-carboxamidine;
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3-Benzyl-2-(2-hydroxy-3,5-dimethyl-phenyl)-1H-indole-5-

- 2-(3,5-Dibromo-2-hydroxy-phenyl)-3-methyl-1H-indole-5-carboxamidine;
- 2-(2-Hydroxy-5-methyl-3-thiophen-2-yl-phenyl)-1H-indole-5-carboxamidine;
- 5 2-[2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-5-carbamimidoyl-1H-indol-3-yl]-acetamide;
 - [3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetic acid methyl ester;
 - 3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-
- 10 hydroxy-phenyl]-propionic acid methyl ester;
 - 3-(3-Amino-benzyl)-2-(3-bromo-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
 - 2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-3-(3-nitro-benzyl)-1H-indole-5-carboxamidine;
- 3-(3-Amino-benzyl)-2-(2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
 - 3-Benzyl-2-(3-chloro-2-hydroxy-5-methyl-phenyl)-1H-indole-5-carboxamidine;
 - 6-Chloro-2-{5-[2-(1,1-dioxo-1-thiomorpholin-4-yl)-2-oxo-
- 20 ethyl]-2-hydroxy-biphenyl-3-yl}-1H-indole-5-carboxamidine;
 2-[5-(5-Carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxybiphenyl-3-yl]-N-(2-piperidin-1-yl-ethyl)-acetamide;
 - 6-Chloro-2-{2-hydroxy-5-[2-(2-methoxymethyl-pyrrolidin-1-yl)-2-oxo-ethyl}-biphenyl-3-yl}-1H-indole-5-carboxamidine;
- 25 6-Chloro-2-{2-hydroxy-5-[2-oxo-3-(tetrahydro-furan-2-y1)propyl]-biphenyl-3-yl}-1H-indole-5-carboxamidine;
 2-[5-(5-Carbamimidoyl-6-chloro-1H-indol-2-y1)-6-hydroxybiphenyl-3-yl]-N-(tetrahydro-furan-2-ylmethyl)-acetamide;

2-[5-(5-Carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxy-

- biphenyl-3-yl]-N-(3-methoxy-propyl)-acetamide;
 Morpholine-4-carboxylic acid {2-[5-(5-carbamimidoyl-6-chloro-1H-indol-2-yl)-6-hydroxy-biphenyl-3-yloxy]-ethyl}-amide;
 - Phosphoric acid mono-{2-[3-(3-benzyl-5-carbamimidoyl-1H-
- indo1-2-y1)-5-bromo-4-hydroxy-phenyl]-ethyl} ester;

- 2-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-N-{4-[1-(1-imino-ethyl)-piperidin-4-yloxy]-phenyl}-acetamide;
- 4-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-
- 5 hydroxy-phenyl]-butyric acid;
 - 2-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-acetamide;
 - 2-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4-hydroxy-phenyl]-N,N-dimethyl-acetamide;
- [3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4hydroxy-phenyl]-acetic acid;
 3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4hydroxy-phenyl]-pentanedioic acid bis-[(2-morpholin-4-yl-ethyl)-amide];
- 15 3-[3-(3-Benzyl-5-carbamimidoyl-1H-indol-2-yl)-5-bromo-4hydroxy-phenyl]-propionamide; and
 2-(3-Bromo-2-hydroxy-5-methyl-phenyl)-3-(4-nitro-benzyl)1H-indole-5-carboxamidine;
 - or a stereoisomer or pharmaceutically acceptable salt form thereof.
 - 15. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 12 or a pharmaceutically acceptable salt thereof.
 - 16. A method for treating or preventing a thromboembolic disorder, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 12 or a pharmaceutically acceptable salt thereof.